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STRUCTURE FILE UPDATES: 11 SEP 2006 HIGHEST RN 906423-10-7 DICTIONARY FILE UPDATES: 11 SEP 2006 HIGHEST RN 906423-10-7

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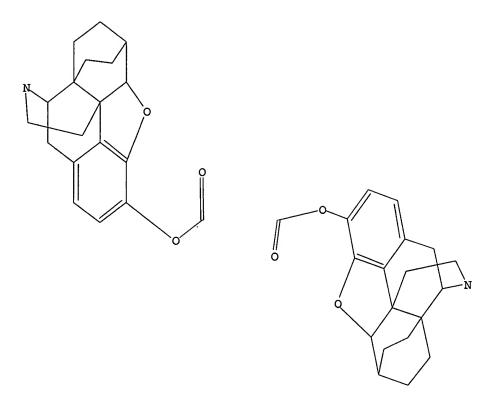
http://www.cas.org/ONLINE/UG/regprops.html

Uploading C:\Program Files\Stnexp\Queries\10645557-2.str

STRUCTURE UPLOADED L5

=> d 15

L5 HAS NO ANSWERS STR



Structure attributes must be viewed using STN Express query preparation.

Page 2

=> s 15

SAMPLE SEARCH INITIATED 11:43:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 11 TO 389
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s 15 ful

FULL SEARCH INITIATED 11:43:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 154 TO ITERATE

100.0% PROCESSED 154 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

L7 2 SEA SSS FUL L5

=> d his

(FILE 'HOME' ENTERED AT 11:38:13 ON 12 SEP 2006)

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FILE 'REGISTRY' ENTERED AT 11:38:29 ON 12 SEP 2006 STRUCTURE UPLOADED L1L20 S L1 2 S L1 FUL L3 FILE 'CAPLUS' ENTERED AT 11:40:00 ON 12 SEP 2006 2 S L3 L4FILE 'REGISTRY' ENTERED AT 11:42:49 ON 12 SEP 2006 STRUCTURE UPLOADED L5 L6 0 S L5 2 S L5 FUL L7 => s 17 not 13 0 L7 NOT L3

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```
http://www.cas.org/infopolicy.html
=> s 13
             2 L3
L4
=> d abs bib hitstr 1-2
     ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
L4
GI
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
AB
     Claimed are buprenorphine monocarboxylates I [R = linear or branched
     (un) saturated aliphatic group optionally substituted with aryl, or aryl
     optionally substituted with linear or branched (un) saturated aliphatic group;
     with the proviso that R is not selected from Me, Et, Pr, Bu, pentyl,
     hexyl, CH(Me)2], and buprenorphine dicarboxylic acid diesters II [R1 =
     divalent group derived from (un) saturated aliphatic group optionally
substituted
     with Ph], which exert a longer analgesic effect as compared with
     buprenorphine hydrochloride. Also claimed are the processes for preparation of
     I and II, and long-acting analgesic pharmaceutical compns. containing
     ≥1 selected from buprenorphine, I, and II and oily vehicles, and a
     method to bring analgesia by administering the compns. to animals or
     human. A composition containing II (R1 = sebacoyl), prepared from
buprenorphine
     (HCl) and sebacoyl chloride, and sesame oil exhibited analgesic duration
     for 96 h at 0.3 \mumol/kg i.m.
AN
     2004:512395 CAPLUS
DN
     141:59722
     Buprenorphine monocarboxylic or dicarboxylic acid derivatives, their
     preparations, long-acting analgesic compositions containing them, and
     analgesia using them
     Wang, Jhi-Joung
     Chimei Hospital, Taiwan
     Jpn. Kokai Tokkyo Koho, 86 pp.
     CODEN: JKXXAF
рΤ
    Patent
    Japanese
FAN.CNT 1
     PATENT NO.
                       KIND
                               DATE
                                           APPLICATION NO.
                        ----
                               -----
                                           -----
                                                                  -----
    JP 2004175706
                        A2
                               20040624
                                           JP 2002-342688
                                                                  20021126
PRAI JP 2002-342688
                               20021126
    MARPAT 141:59722
     693242-79-4P, Dibuprenorphine pimelate 693242-80-7P,
    Dibuprenorphine sebacoyl ester
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of buprenorphine monocarboxylic or dicarboxylic acid esters and
        long-acting analgesic compns. containing them)
RN
    693242-79-4 CAPLUS
     6,14-Ethenomorphinan-7-methanol, 3,3'-[(1,7-dioxo-1,7-
CN
```

heptanediyl) bis (oxy)] bis [17-(cyclopropylmethyl) $-\alpha$ -(1,1-

dimethylethyl) -4,5-epoxy-18,19-dihydro-6-methoxy- α -methyl-, $(\alpha S, 5\alpha, 7\alpha) - (\alpha'S, 5'\alpha, 7'\alpha) - (9CI)$ (CA) INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

RN 693242-80-7 CAPLUS

CN 6,14-Ethenomorphinan-7-methanol, 3,3'-[(1,10-dioxo-1,10decanediyl) bis (oxy)] bis $[17-(cyclopropylmethyl)-\alpha-(1,1-dimethylethyl)-$ 4,5-epoxy-18,19-dihydro-6-methoxy- α -methyl-, $(\alpha S, 5\alpha, 7\alpha) - (\alpha'S, 5'\alpha, 7'\alpha) - (9CI)$ (CA)

12/09/2006

Page 3

INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN $\tt GI$

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Disclosed herein are novel buprenorphine monocarboxylic ester derivs., such as I [R = straight-chain or branched saturated or unsatd. aliphatic group optionally substituted with an aryl group, or an aryl group optionally substituted with straight-chain or branched saturated or unsatd. aliphatic with the proviso that R is not selected from Me, Et, (CH) 2Me, (CH) 3Me, (CH) 4Me, (CH) 5Me, CH(Me)2], and dibuprenorphine dicarboxylic ester derivs., such as II [R1 = divalent moiety of a saturated or unsatd. aliphatic group optionally substituted with Ph group], which exert a longer analgesic effect as compared to buprenorphine hydrochloride. Also disclosed are the processes for synthesizing I and II, and long-acting analgesic pharmaceutical compns. containing a compound selected from buprenorphine base and the novel ester derivs. of buprenorphine. dibuprenorphine pimelate II [R1 = (CH2)5], prepared by the reaction of buprenorphine hydrochloride and pimelic dichloride, exhibited analgesic duration for 72 h at a dose of 0.3 \mu M/kg. 2004:427626 CAPLUS AN DN 140:423853 Preparation and long acting analgesic pharmaceutical composition of ester TI derivs. of buprenorphine IN Wang, Jhi-joung Chi Mei Foundation Medical Center, Taiwan PA SO Eur. Pat. Appl., 51 pp. CODEN: EPXXDW DТ Patent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. -------------------20040526 EP 2002-258083 PΙ EP 1422230 **A1** 20021125 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK CN 1500786 20040602 CN 2003-178705 Α 20030715 US 2005075361 A1 US 2003-645557 20050407 20030822 PRAI US 2002-291614 Α 20021112 EP 2002-258083 20021125 os MARPAT 140:423853 ΙT 693242-79-4P, Dibuprenorphine pimelate 693242-80-7P, Dibuprenorphine sebacovl ester RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and analgesic pharmaceutical composition of ester derivs. of buprenorphine) 693242-79-4 CAPLUS RNCN 6,14-Ethenomorphinan-7-methanol, 3,3'-[(1,7-dioxo-1,7heptanediyl) bis (oxy)] bis [17-(cyclopropylmethyl) $-\alpha$ -(1,1dimethylethyl) -4,5-epoxy-18,19-dihydro-6-methoxy- α -methyl-, $(\alpha S, 5\alpha, 7\alpha) - (\alpha'S, 5'\alpha, 7'\alpha) - (9CI)$ (CA)

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Absolute stereochemistry.

INDEX NAME)

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Absolute stereochemistry.

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